

86874

Access DB# \_\_\_\_\_

**SEARCH REQUEST FORM**

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: 77011 Date: 2/24/03  
 Art Unit: 1624 Phone Number 306-5814 Serial Number: 09/955-328  
 Mail Box and Bldg/Room Location: 4001 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

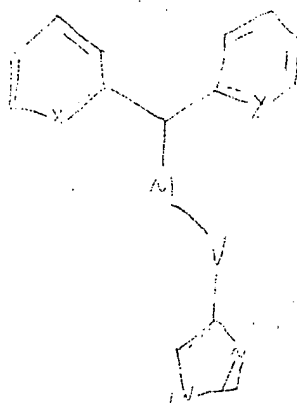
Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Barb please!



One of x and /  
is with the other  
compound

Point of Contact  
 Barb O'Brien  
 Technical Information Specialist  
 STIC CM1 6A05 308-4291

**STAFF USE ONLY**Searcher: 2-573

Searcher Phone #: \_\_\_\_\_

Searcher Location: \_\_\_\_\_

Date Searcher Picked Up: \_\_\_\_\_

Date Completed: 2-24-03Searcher Prep & Review Time: 20'

Clerical Prep Time: \_\_\_\_\_

Online Time: 20'**Type of Search**

NA Sequence (#) \_\_\_\_\_

AA Sequence (#) \_\_\_\_\_

Structure (#) 1

Bibliographic \_\_\_\_\_

Litigation \_\_\_\_\_

Fulltext \_\_\_\_\_

Patent Family \_\_\_\_\_

Other \_\_\_\_\_

**Vendors and cost where applicable**STN 246

Dialog \_\_\_\_\_

Questel/Orbit \_\_\_\_\_

Dr.Link \_\_\_\_\_

Lexis/Nexis \_\_\_\_\_

Sequence Systems \_\_\_\_\_

WWW/Internet \_\_\_\_\_

Other (specify) \_\_\_\_\_

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# BioTech-Chem Library

## Search Results

### Feedback Form (Optional)



Scientific & Technical Information Center

The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact *the BioTech-Chem searcher* who conducted the search *or contact*:

Mary Hale, Supervisor, 308-4258  
CM-1 Room 1E01

---

#### *Voluntary Results Feedback Form*

➤ *I am an examiner in Workgroup:* (Example: 1610)

➤ *Relevant prior art found, search results used as follows:*

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

*Types of relevant prior art found:*

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ *Relevant prior art not found:*

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Search results were not useful in determining patentability or understanding the invention.

**Other Comments:**

---

Drop off completed forms at the Circulation Desk CM-1, or send to Mary Hale, CM1-1E01 or [mary.hale@uspto.gov](mailto:mary.hale@uspto.gov)

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=> fil reg; d stat que 13; fil cap1; d que nos 14; fil uspatf; d que nos 15; fil marpat;  
d que nos 19

FILE 'REGISTRY' ENTERED AT 09:49:57 ON 24 FEB 2003

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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 21 FEB 2003 HIGHEST RN 493666-74-3

DICTIONARY FILE UPDATES: 21 FEB 2003 HIGHEST RN 493666-74-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

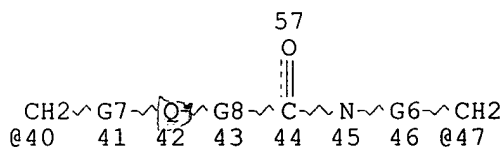
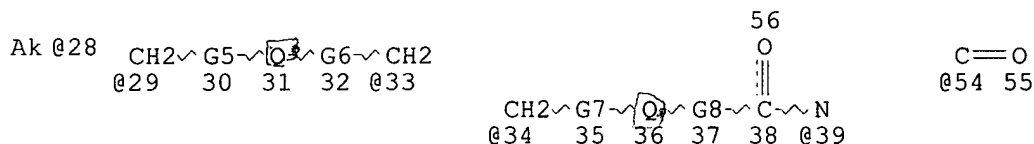
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:

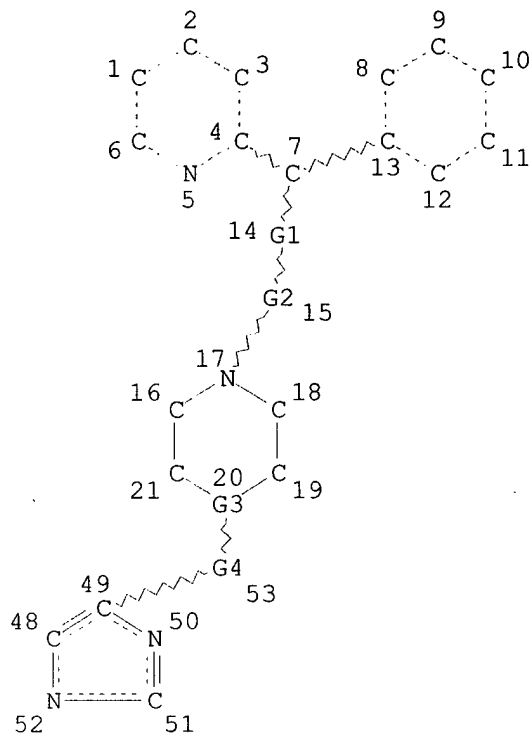
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

L1 STR



Q = any atom other than carbon or hydrogen

Page 1-A



Page 2-A

REP G1=(0-5) CH2

REP G2=(0-1) 54

VAR G3=N/CH

VAR G4=28/29-20 33-49/34-20 39-49/40-20 47-49

REP G5=(1-7) CH2

REP G6=(0-4) CH2

REP G7=(1-3) CH2

REP G8=(0-3) CH2

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 28

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 28 31 36 42

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 51

STEREO ATTRIBUTES: NONE

L3 23 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 1617 ITERATIONS

SEARCH TIME: 00.00.01

23 ANSWERS

FILE 'CAPLUS' ENTERED AT 09:49:57 ON 24 FEB 2003

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FILE COVERS 1907 - 24 Feb 2003 VOL 138 ISS 9  
FILE LAST UPDATED: 23 Feb 2003 (20030223/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR  
L3 23 SEA FILE=REGISTRY SSS FUL L1  
L4 1 SEA FILE=CAPLUS ABB=ON L3

FILE 'USPATFULL' ENTERED AT 09:49:57 ON 24 FEB 2003  
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 20 Feb 2003 (20030220/PD)  
FILE LAST UPDATED: 20 Feb 2003 (20030220/ED)  
HIGHEST GRANTED PATENT NUMBER: US6523178  
HIGHEST APPLICATION PUBLICATION NUMBER: US2003037360  
CA INDEXING IS CURRENT THROUGH 20 Feb 2003 (20030220/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 20 Feb 2003 (20030220/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2002  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR  
L3 23 SEA FILE=REGISTRY SSS FUL L1  
L5 1 SEA FILE=USPATFULL ABB=ON L3

FILE 'MARPAT' ENTERED AT 09:49:58 ON 24 FEB 2003  
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 138 ISS 8) (20030221/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6506784 14 JAN 2003  
DE 20211496 09 JAN 2003  
EP 1276165 15 JAN 2003  
JP 2003013033 15 JAN 2003  
WO 2003003393 09 JAN 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

L1 STR  
L8 7 SEA FILE=MARPAT SSS FUL L1  
L9 5 SEA FILE=MARPAT ABB=ON L8/COMPLETE

=> dup rem 14,15,19

FILE 'CAPLUS' ENTERED AT 09:50:04 ON 24 FEB 2003  
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PROCESSING COMPLETED FOR L4  
PROCESSING COMPLETED FOR L5  
PROCESSING COMPLETED FOR L9

L10 6 DUP REM L4 L5 L9 (1 DUPLICATE REMOVED)  
ANSWER '1' FROM FILE CAPLUS  
ANSWER '2' FROM FILE USPATFULL  
ANSWERS '3-6' FROM FILE MARPAT

=> d ibib abs hitstr 1-2; d ibib abs qhit 3-6; fil hom

L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1  
ACCESSION NUMBER: 2002:428870 CAPLUS  
DOCUMENT NUMBER: 137:20375  
TITLE: Preparation of substituted imidazoles as dual  
histamine H1 and H3 agonists or antagonists useful in  
treatment of inflammatory diseases and allergic  
conditions  
INVENTOR(S): Shih, Neng-Yang; Aslanian, Robert G.; Solomon, Daniel  
M.; Rosenblum, Stuart B.; Mutahi, Mwangi Wa; Tom, Wing  
C.; McCormick, Kevin D.; Piwinski, John J.; Wolin,  
Ronald  
PATENT ASSIGNEE(S): Schering Corporation, USA



SOURCE: PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

*applicant*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044141	A2	20020606	WO 2001-US29062	20010918
WO 2002044141	A3	20021107		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002041459	A5	20020611	AU 2002-41459	20010918
US 2002082278	A1	20020627	US 2001-955383	20010918
PRIORITY APPLN. INFO.:			US 2000-234039P P	20000920
			WO 2001-US29062 W	20010918
OTHER SOURCE(S):	MARPAT 137:20375			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 and R2 may no. 1-4 and independently = H, alkyl, alkoxy, halo, OH, etc.; R3 = H, alkyl, alkoxy, OH, with provision when n and k are both 0, then R3 is not OH or alkoxy; R4 = H, alkyl, polyhaloalkyl, or OH; X and Y are independently = N, CH and N(O); M = moiety of general structure II or III where Z = -(CH<sub>2</sub>)<sub>n</sub>(CO)<sub>k</sub> where k = 0-1, n = 0-5, and p = q = 0-2 with provision that when M = III, R3 is absent; V = alkyl, amidoalkyl, alkoxyalkyl, etc.] are prepd. and disclosed as dual histamine-H1 and H3 receptor antagonists. Thus, IV was prepd. via N-alkylation of N-[pyridin-2-yl-(4-chlorophenyl)]methylpiperazine with chloromethyltritylimidazole with subsequent deprotection. I were evaluated in H1 and H3 receptor binding assays with K<sub>i</sub> for H1 ranging from 0.3-130 nM and for H3 1.7-80 nM. In another embodiment, the invention discloses pharmaceutical compns. comprising such imidazoles as well as methods of using them to treat allergy, nasal congestion, inflammatory and CNS-related diseases and others.

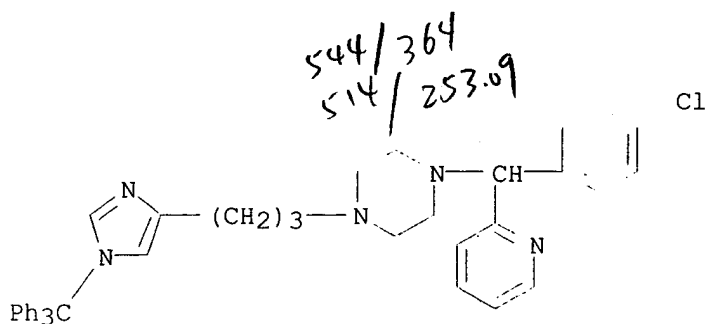
IT 433976-33-1P 433976-35-3P 433976-39-7P  
433976-40-0P 433976-43-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

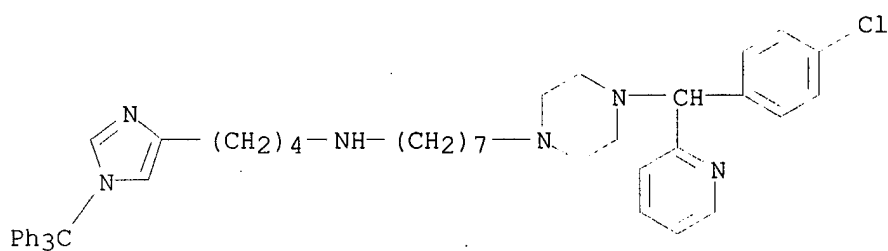
RN 433976-33-1 CAPLUS

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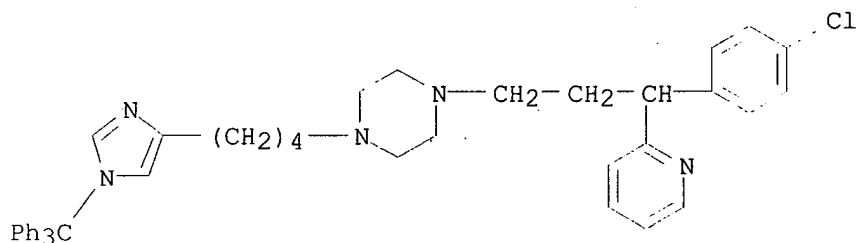
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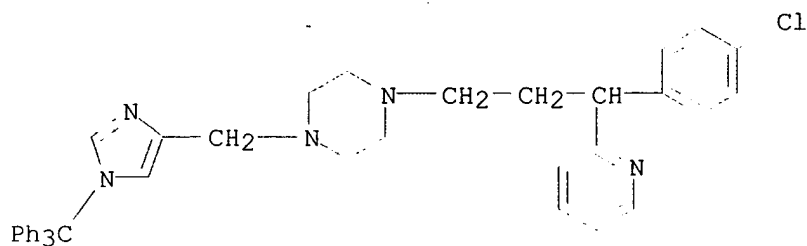
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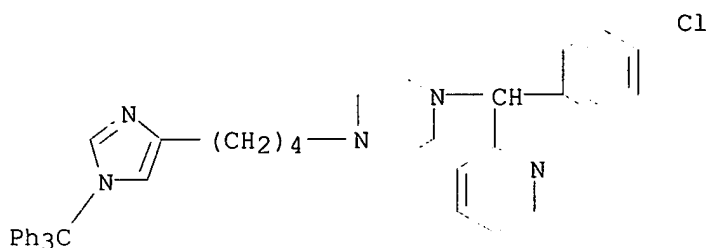
RN 433976-40-0 CAPLUS

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RN 433976-43-3 CAPLUS

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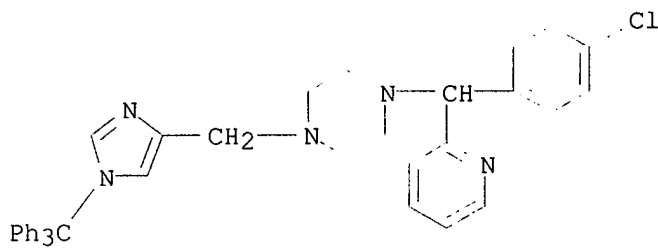
IT 433976-45-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

RN 433976-45-5 CAPLUS

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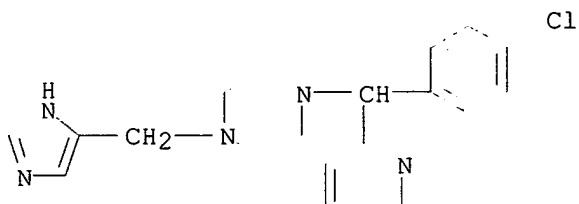
IT 433975-96-3P 433976-10-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compd.; prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

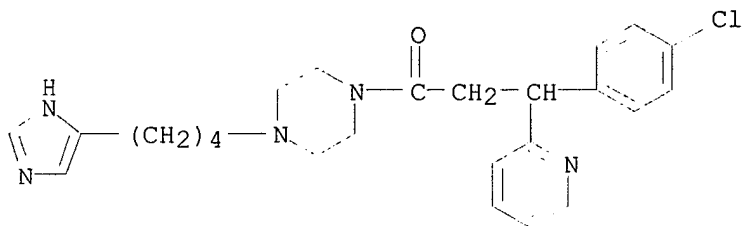
RN 433975-96-3 CAPLUS

CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)



RN 433976-10-4 CAPLUS

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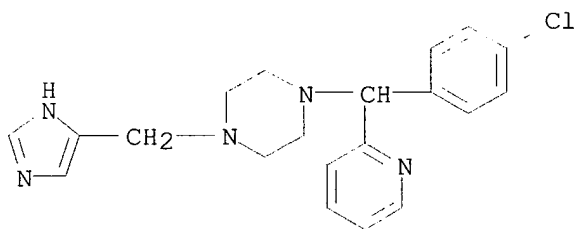
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 433976-04-6P 433976-05-7P 433976-06-8P  
 433976-07-9P 433976-08-0P 433976-09-1P  
 433976-11-5P 433976-14-8P 433976-15-9P  
 433976-30-8P 433976-31-9P 433976-32-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

RN 433975-97-4 CAPLUS

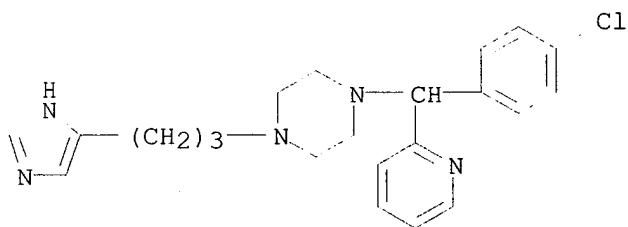
CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 433976-02-4 CAPLUS

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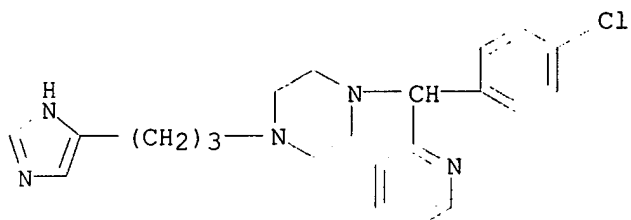


4 HCl

RN 433976-03-5 CAPLUS

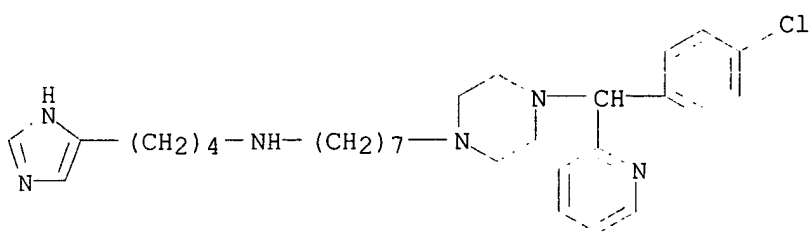
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yl)propyl]- (9CI) (CA INDEX NAME)



RN 433976-04-6 CAPLUS

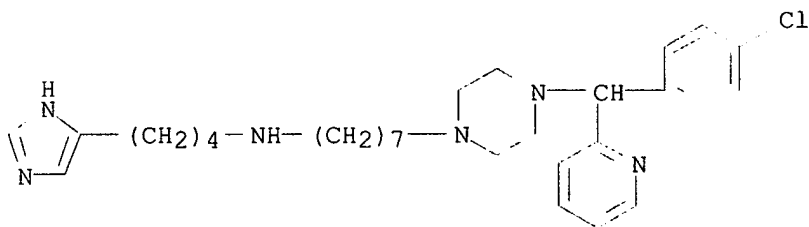
CN 1-Piperazineheptanamine, 4-[(4-chlorophenyl)-2-pyridinylmethyl]-N-[4-(1H-imidazol-4-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

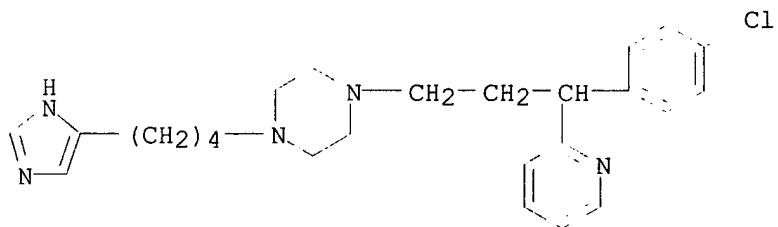
RN 433976-05-7 CAPLUS

CN 1-Piperazineheptanamine, 4-[(4-chlorophenyl)-2-pyridinylmethyl]-N-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



RN 433976-06-8 CAPLUS

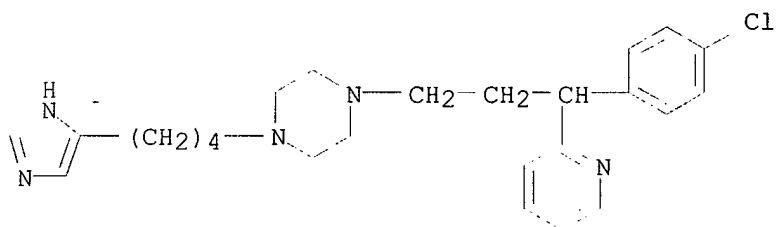
CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

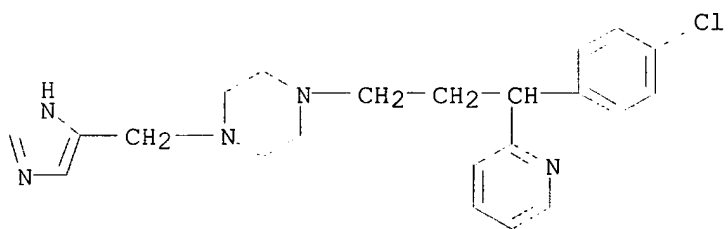
RN 433976-07-9 CAPLUS

CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



RN 433976-08-0 CAPLUS

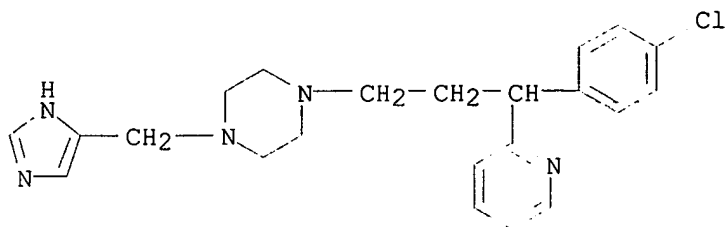
CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

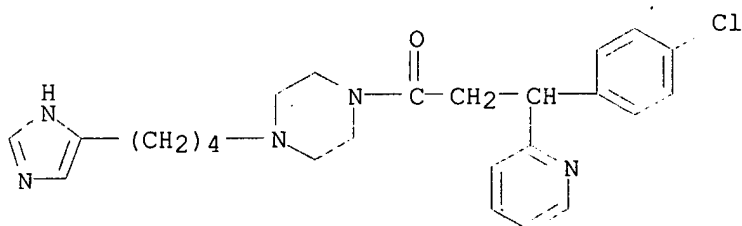
RN 433976-09-1 CAPLUS

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RN 433976-11-5 CAPLUS

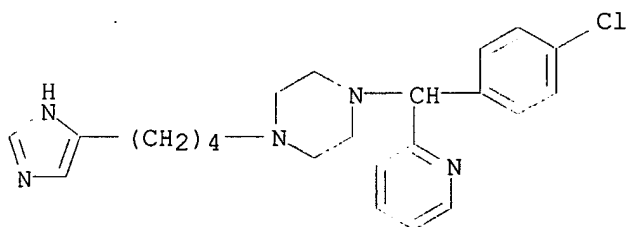
CN Piperazine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 433976-14-8 CAPLUS

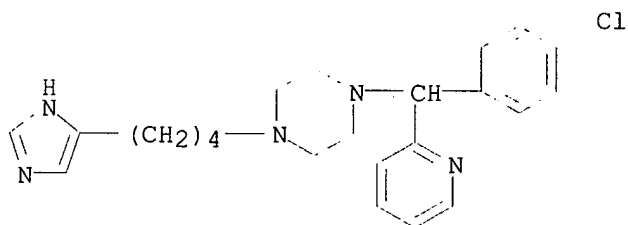
CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[4-(1H-imidazol-4-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)



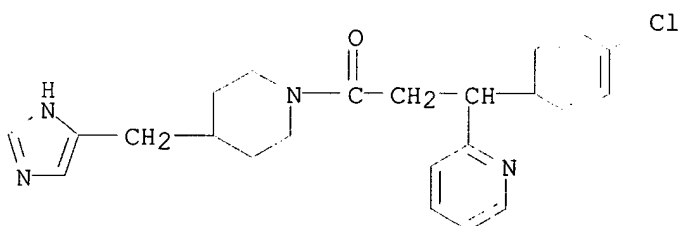
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CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



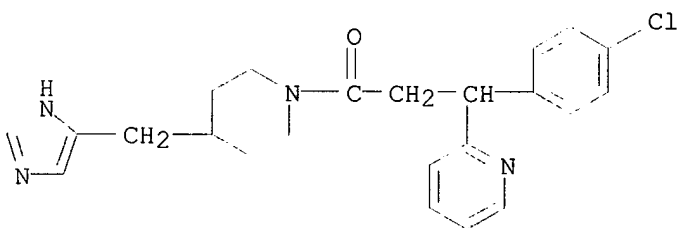
RN 433976-30-8 CAPLUS  
CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



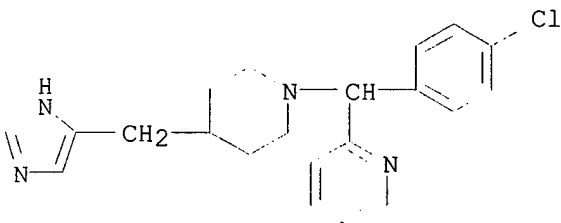
546/194  
514/318

● x HCl

RN 433976-31-9 CAPLUS  
CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)



RN 433976-32-0 CAPLUS  
CN Pyridine, 2-[4-(4-chlorophenyl)[4-(1H-imidazol-4-ylmethyl)-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 6 USPATFULL



ACCESSION NUMBER: 2002:157671 USPATFULL  
TITLE: Substituted imidazoles as dual histamine H1 and H3 agonists or antagonists  
INVENTOR(S): Shih, Neng-Yang, North Caldwell, NJ, UNITED STATES  
Aslanian, Robert G., Rockaway, NJ, UNITED STATES  
Solomon, Daniel M., Edison, NJ, UNITED STATES  
Rosenblum, Stuart B., West Orange, NJ, UNITED STATES  
Mutahi, Mwangi Wa, Fords, NJ, UNITED STATES  
Tom, Wing C., Cedar Grove, NJ, UNITED STATES  
Mc Cormick, Kevin D., Edison, NJ, UNITED STATES  
Piwinski, John J., Clinton Township, NJ, UNITED STATES  
Wolin, Ronald, San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002082278	A1	20020627
APPLICATION INFO.:	US 2001-955383	A1	20010918 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-234039P	20000920 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1353	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention discloses novel substituted imidazole compounds which have H.sub.3 receptor antagonist or dual histamine-H.sub.1 and H.sub.3 receptor antagonist activity as well as methods for preparing such compounds. In another embodiment, the invention discloses pharmaceutical compositions comprising such imidazoles as well as methods of using them to treat allergy, nasal congestion, inflammatory and CNS-related diseases and others.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

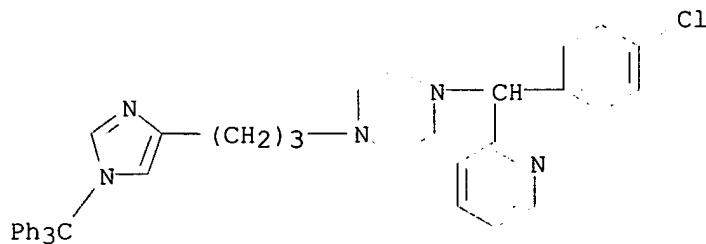
IT 433976-33-1P 433976-35-3P 433976-39-7P

433976-40-0P 433976-43-3P

(intermediate; prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

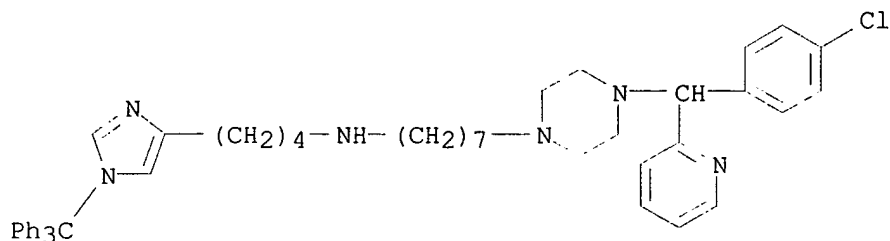
RN 433976-33-1 USPATFULL

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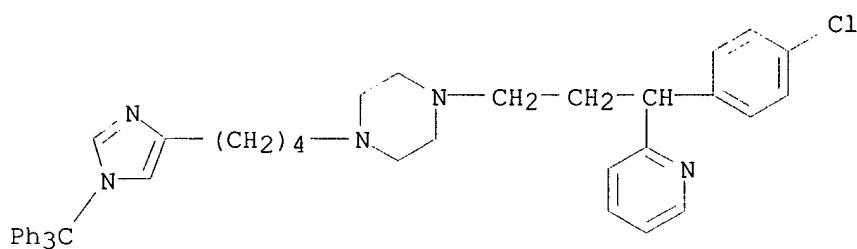
RN 433976-35-3 USPATFULL

CN 1-Piperazineheptanamine, 4-[(4-chlorophenyl)-2-pyridinylmethyl]-N-[4-[1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]- (9CI) (CA INDEX NAME)



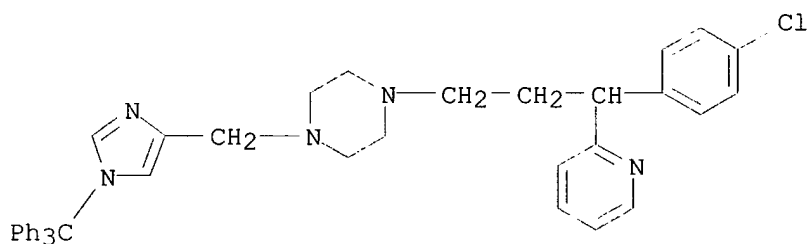
RN 433976-39-7 USPATFULL

CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-[4-[1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]- (9CI) (CA INDEX NAME)



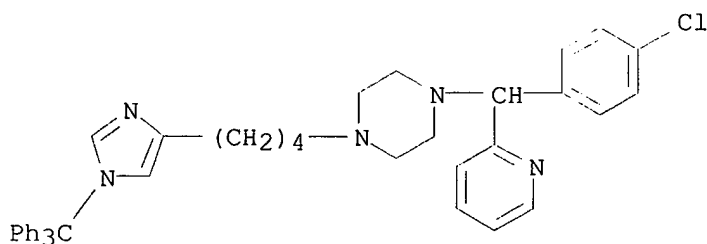
RN 433976-40-0 USPATFULL

CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 433976-43-3 USPATFULL

CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[4-[1-(triphenylmethyl)-1H-imidazol-4-yl]butyl]- (9CI) (CA INDEX NAME)

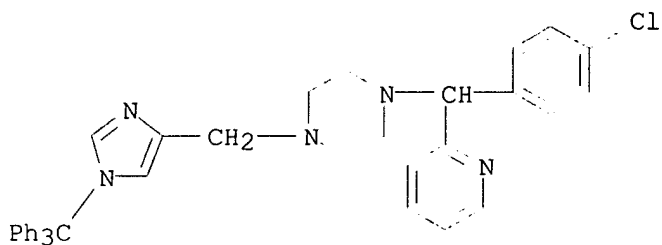


IT 433976-45-5

(prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

RN 433976-45-5 USPATFULL

CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

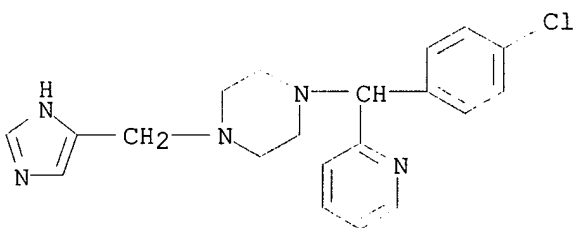


IT 433975-96-3P 433976-10-4P

(target compd.; prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

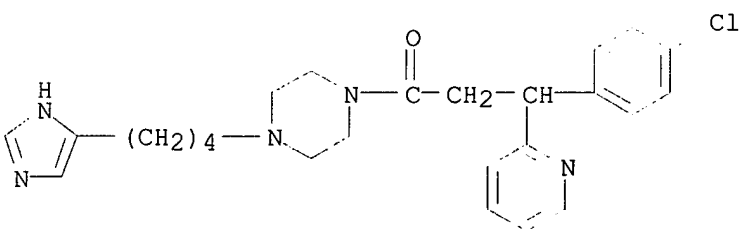
RN 433975-96-3 USPATFULL

CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)



RN 433976-10-4 USPATFULL

CN Piperazine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



IT 433975-97-4P 433976-02-4P 433976-03-5P

433976-04-6P 433976-05-7P 433976-06-8P

433976-07-9P 433976-08-0P 433976-09-1P

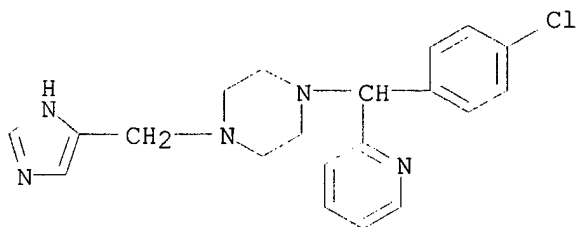
433976-11-5P 433976-14-8P 433976-15-9P

433976-30-8P 433976-31-9P 433976-32-0P

(target compd.; prepn. of substituted imidazoles as dual histamine h1 and h3 agonists or antagonists)

RN 433975-97-4 USPATFULL

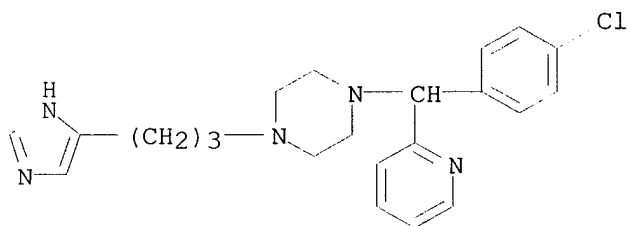
CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 433976-02-4 USPATFULL

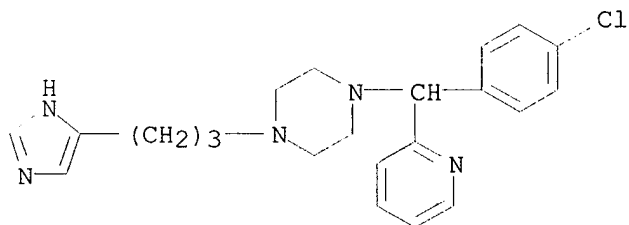
CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[3-(1H-imidazol-4-yl)propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

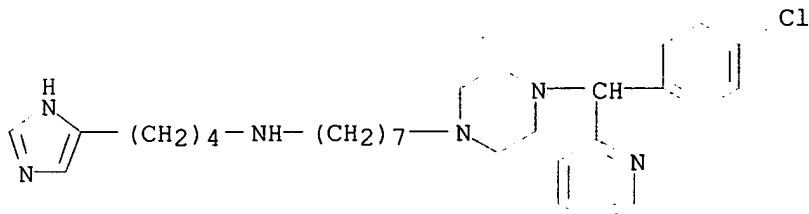
RN 433976-03-5 USPATFULL

CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[3-(1H-imidazol-4-yl)propyl]- (9CI) (CA INDEX NAME)



RN 433976-04-6 USPATFULL

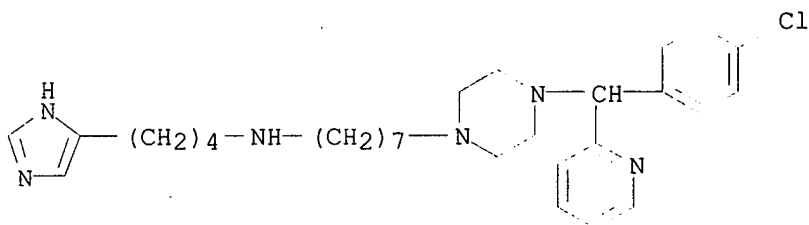
CN 1-Piperazineheptanamine, 4-[(4-chlorophenyl)-2-pyridinylmethyl]-N-[4-(1H-imidazol-4-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

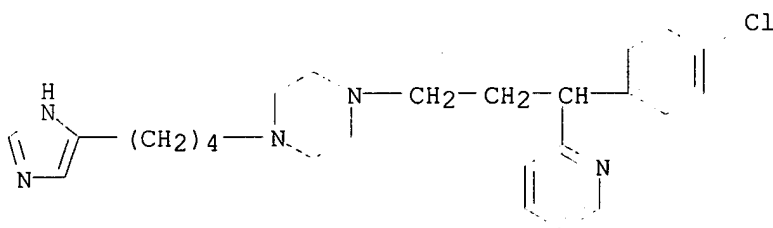
RN 433976-05-7 USPATFULL

CN 1-Piperazineheptanamine, 4-[(4-chlorophenyl)-2-pyridinylmethyl]-N-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



RN 433976-06-8 USPATFULL

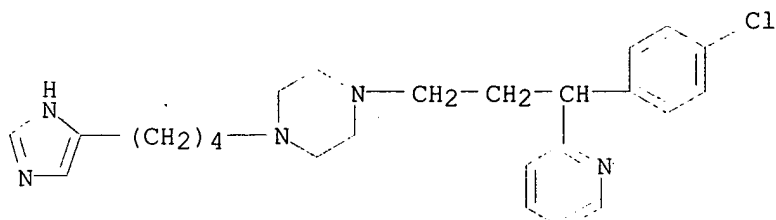
CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

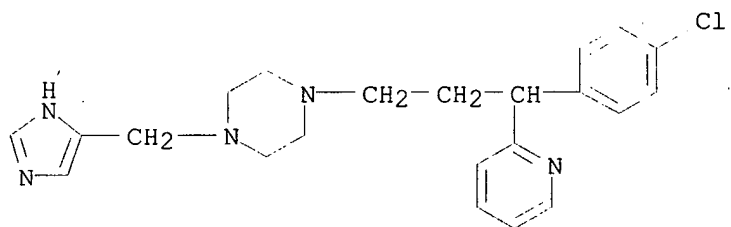
RN 433976-07-9 USPATFULL

CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



RN 433976-08-0 USPATFULL

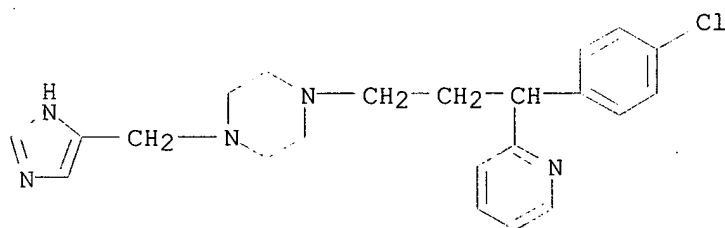
CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

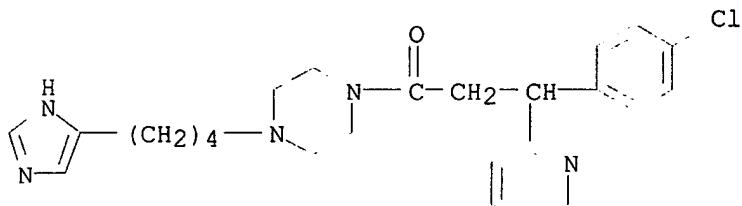
RN 433976-09-1 USPATFULL

CN Piperazine, 1-[3-(4-chlorophenyl)-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)



RN 433976-11-5 USPATFULL

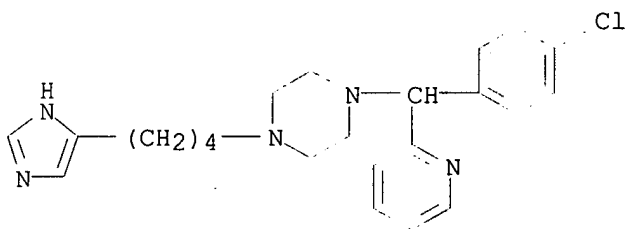
CN Piperazine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-[4-(1H-imidazol-4-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 433976-14-8 USPATFULL

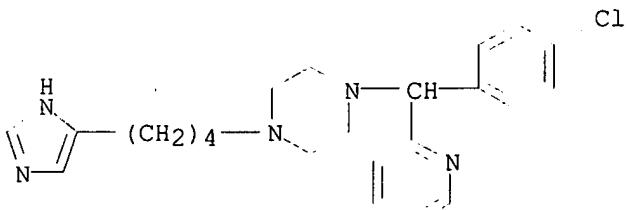
CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[4-(1H-imidazol-4-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

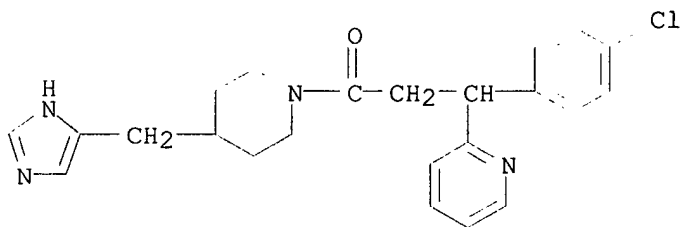
RN 433976-15-9 USPATFULL

CN Piperazine, 1-[(4-chlorophenyl)-2-pyridinylmethyl]-4-[4-(1H-imidazol-4-yl)butyl]- (9CI) (CA INDEX NAME)



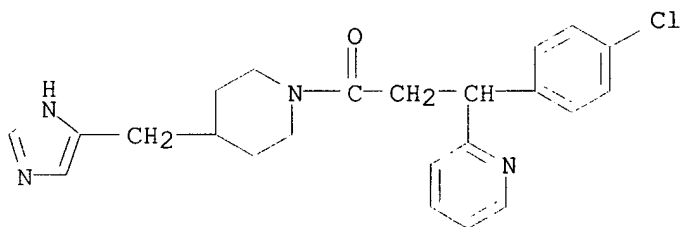
RN 433976-30-8 USPATFULL

CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



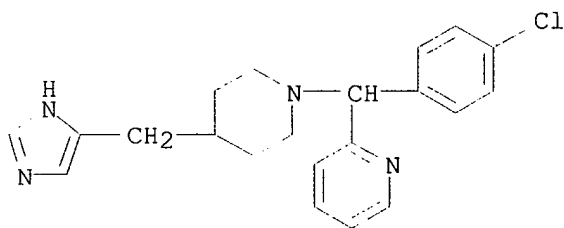
RN 433976-31-9 USPATFULL

CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)



RN 433976-32-0 USPATFULL

CN Pyridine, 2-[(4-chlorophenyl)[4-(1H-imidazol-4-ylmethyl)-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 6 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 137:185513 MARPAT

TITLE: Preparation of piperidine and piperazine derivatives as inhibitors of p38.alpha. kinase

INVENTOR(S): Goehring, R. richard; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Leudtke, Gregory; Lewicki, John A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 385,494.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

Searched by Barb O'Bryen, STIC 308-4291

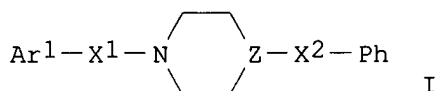


FAMILY ACC. NUM. COUNT: 3

## PATENT INFORMATION:

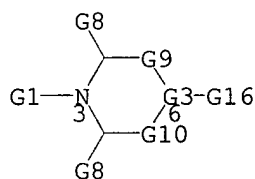
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002115671	A1	20020822	US 2001-796997	20010228
US 6410540	B1	20020625	<del>US 1999-385494</del>	<del>19990827</del>
PRIORITY APPLN. INFO.:			US 1999-385494	19990827
			US 2000-185571P	20000228
			US 1998-98219P	19980828
			US 1999-125343P	19990319

GI

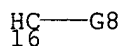


AB The title compds. I [Ar<sup>1</sup> = furanyl optionally substituted; X<sup>1</sup> = CO; Z = N, CH; X<sup>2</sup> = CH<sub>2</sub>, isostere; Ph may be optionally substituted], inhibitors of p38.alpha. kinase, were prepd. For example, 1-benzoyl-4-benzylpiperidine was prepd. in 96% yield by reaction of 4-benzylpiperidine and PhCOCl in the presence of diisopropylethylamine in CH<sub>2</sub>Cl<sub>2</sub>. In p38.alpha. kinase inhibition assays, I showed substantial inhibition at 15 .mu.M, some as high as 99%. I are useful for the treatment of conditions assocd. with activation of p38.alpha., in particular inflammation and cardiac conditions (no data).

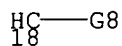
## MSTR 2



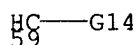
G3 = N  
G9 = (0-4) 16



G10 = (0-3) 18



G13 = isoquinolinyl / imidazolyl  
G14 = Ph (SO)  
G15 = 59



G18 = Ak&lt;(1-8)&gt; (SO) .

MPL: disclosure  
 NTE: substitution is restricted  
 NTE: and pharmaceutically acceptable salts or compositions

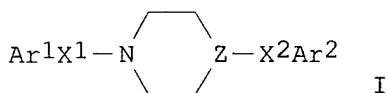
L10 ANSWER 4 OF 6 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 135:227015 MARPAT  
 TITLE: Preparation of piperidine and piperazine derivatives  
 as inhibitors of p38-.alpha. kinase  
 INVENTOR(S): Goehring, Richard R.; Mavunkel, Babu J.; Liu, David  
 Y.; Schreiner, George F.; Luedtke, Gregory; Lewicki,  
 John A.  
 PATENT ASSIGNEE(S): Scios, Inc., USA  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064676	A2	20010907	WO 2001-US6715	20010228
WO 2001064676	A3	20020328		

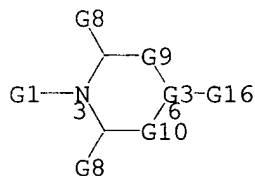
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 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,  
 RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-185571P 20000228  
 GI



AB The title compds. I [Ar<sup>1</sup> = furanyl optionally substituted; X<sup>1</sup> = CO; Z = N, CH; X<sup>2</sup> = CH<sub>2</sub>, isostere; Ar<sup>2</sup> = substituted Ph], inhibitors of p38-.alpha. kinase, were prepd. E.g., 1-benzoyl-4-benzylpiperidine was prepd. by reaction of 4-benzylpiperidine and PhCOCl.

## MSTR 2



G3 = N  
 G9 = (0-4) 16

HC—G8  
16

G10 = (0-3) 18

HC—G8  
18

G13 = isoquinoliny1 / imidazolyl  
G14 = Ph (SO)  
G15 = 59

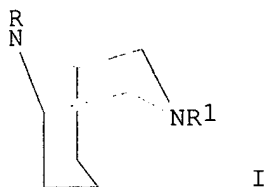
HC—G14  
59

G18 = Ak<(1-8)> (SO)  
MPL: disclosure  
NTE: substitution is restricted  
NTE: and pharmaceutically acceptable salts or compositions

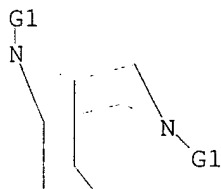
L10 ANSWER 5 OF 6 MARPAT COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 135:180789 MARPAT  
TITLE: Preparation of 3,9-diazabicyclo[3.3.1]nonane  
derivatives with analgesic activity  
INVENTOR(S): Cignarella, Giorgio; Pinna, Gerard Aime  
PATENT ASSIGNEE(S): Il Centro Consortile Ricerche Neuropsicofarmacologiche  
A R.L., Italy  
SOURCE: PCT Int. Appl., 20 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060823	A1	20010823	WO 2001-EP1541	20010213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001037377	A5	20010827	AU 2001-37377	20010213
EP 1259511	A1	20021127	EP 2001-909740	20010213
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			IT 2000-MI293	20000218
			WO 2001-EP1541	20010213

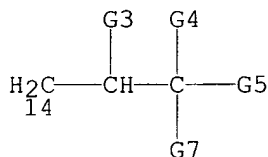
GI



AB The title compds. I (R and R1, which are different from each other, are a straight or branched C2-C8 acyl group, a group of formula CH<sub>2</sub>CH:CBR<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>CHBR<sub>2</sub> and B is a C6-C10 aryl group, C5-C7 cycloalkyl group, 5 or 6 membered heterocyclic arom. group, R<sub>2</sub> = H, alkyl, cycloalkyl, Ph), having analgesic activity, were prepd. E.g., rearrangement of 9-propionyl-3,9-diazabicyclo[3.3.1.]nonane gave 3-propionyl-3,9-diazabicyclo[3.3.1.]nonane. Binding studies of I with .mu., .delta., and .kappa. opioid receptors was detd.

**MSTR 1**

G1 = 14



G5 = imidazolyl / pyridyl

G7 = Ph (SO (1-) G6)

MPL: claim 1

NTE: and pharmaceutically acceptable salts

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 6 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 126:8134 MARPAT

TITLE: Preparation of antineoplastic carbonylpiperazinyl and -piperidinyl derivatives which inhibit farnesyl protein transferase

INVENTOR(S): Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinanath F.; Njoroge, F. George; Rossman, Randall A.; Baldwin, John J.; Li, Ge; Reader, John C.

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

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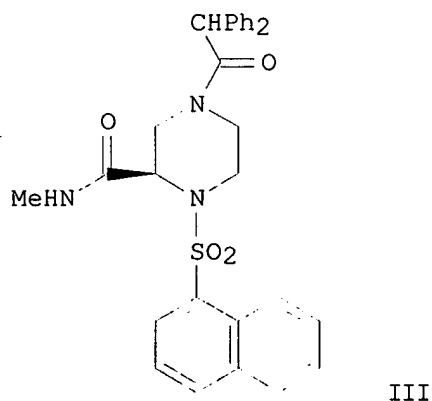
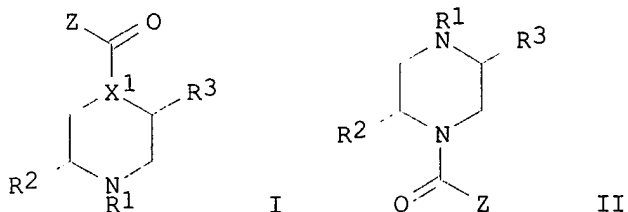
Searched by Barb O'Bryen, STIC 308-4291

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## PATENT INFORMATION:

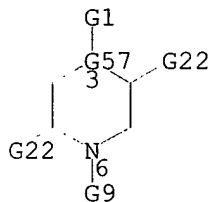
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631501	A1	19961010	WO 1996-US4169	19960403
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9602694	A	19961003	ZA 1996-2694	19960403
CA 2217351	AA	19961010	CA 1996-2217351	19960403
AU 9654326	A1	19961023	AU 1996-54326	19960403
EP 820452	A1	19980128	EP 1996-911440	19960403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI				
JP 10511979	T2	19981117	JP 1996-530361	19960403
JP 3038016	B2	20000508		
PRIORITY APPLN. INFO.:			US 1995-418319	19950407
			WO 1996-US4169	19960403

GI

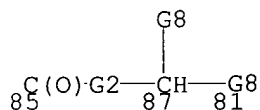


AB The title compds. [I, II; R1 = carbonyl- or sulfonyl-contg. moiety; R2, R3 = aminocarbonyl- or carboxyalkyl-contg. moiety; Z = (un)substituted quinolinyl, (un)substituted quinolinylalkyl, (un)substituted naphthyl, (un)substituted naphthylalkyl, (un)substituted diphenylmethyl, (un)substituted diphenylalkyl, etc.] (e.g., III; IC50 for farnesyl protein transferase <10 mM), useful for inhibiting the Ras function and therefore inhibiting the abnormal growth of cells (e.g., cancer), are prepd. and I-contg. formulations presented.

## MSTR 1



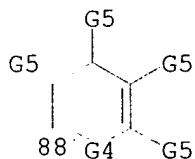
G1 = 85



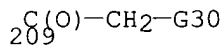
G4 = 51 / N



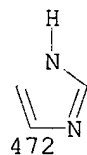
G8 = 88



G9 = 209



G30 = 472



G57 = N

DER: or pharmaceutically acceptable salts

MPL: claim 1

NTE: substitution is restricted

NTE: additional ring formation is allowed

=> fil cao; d que nos 16; fil hom

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